

Application No.: 10/804,915

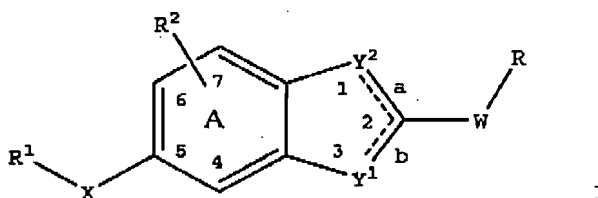
Attorney Docket No. A-846 (US)

AMENDMENTS

This listing of claims replaces all previous listings:

RECEIVED
CENTRAL FAX CENTER
OCT 11 2007

1. (Currently amended) A compound of Formula I



wherein W and X are independently selected from O, S(O)_n and NR⁴;
 wherein Y¹ is N, and Y² is O, dashed line "a" is absent and dashed line
 "b" indicates a bond are independently selected from O, S(O)_n, N and
 NR³;

wherein ring A is phenyl optionally contains a nitrogen atom
 independently at position 1, 6 or 7;

wherein n is 0, 1 or 2;

wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-14 membered fused heterocyclyl,
- d) substituted or unsubstituted cycloalkyl, and
- e) substituted or unsubstituted cycloalkenyl,

wherein substituted R is substituted with one or more
 substituents independently selected from halo, -OR³, -SR³, -
 CO₂R³, -C(O)NR³R³, -C(O)R³, -NR³R³, oxo, -OC(O)R³, -SO₂R³, -
 SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, -NR³C(O)NR³R³, optionally
 substituted cycloalkyl, optionally substituted 4-6 membered
 heterocyclyl, optionally substituted phenyl, cyano,
 alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, and lower
 alkyl substituted with R⁶;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 4-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-14 membered fused heterocyclyl,
- d) substituted or unsubstituted arylalkyl, and

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

e) substituted or unsubstituted heterocyclalkyl,

where substituted R^1 is substituted with one or more substituents selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted phenyl, alkylaminoalkoxyalkoxy, nitro, cyano, oxo, lower alkyl substituted with R^5 ;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclalkyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^5 , lower alkenyl substituted with R^5 , and lower alkynyl substituted with R^5 ;

wherein R^3 is independently selected from H, lower alkyl, lower aminoalkyl, lower alkylaminoalkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted C_3 - C_6 -cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted C_3 - C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is independently selected from H, and lower alkyl; and

wherein R^5 is one or more substituents independently selected from H, halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclalkyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl, lower alkenyl and lower alkynyl;

and enantiomers, diastereomers, pharmaceutically acceptable

derivatives salts and solvates thereof;

~~provided one of Y^1 and Y^2 is N or NH;~~

~~further provided only one of dashed lines a and b indicates a double bond;~~

~~further provided either X or W is not S(O), when Y^2 is S and Y^1 is N;~~

~~further provided R^1 is not 2 HO₂C phenyl, 1H pyrrole 2,5 dione or benzothiazole when Y^2 is S and Y^1 is N;~~

~~further provided either R or R^1 is not substituted isoindolone when Y^2 is S and Y^1 is N;~~

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

~~further provided R¹ is not benzyl when X is O, W is NH, Y² is O, Y¹ is N and R is 4-(diethylaminoethoxy)phenyl;~~
~~further provided R² is not benzyl when Y² is NH, Y¹ is N and R is 5-(2-chloro-6-methylphenyl)-NHC(=O)-thiazol-2-yl or benzyl;~~
~~further provided X and W are not both S(O)_n when Y² is NH and Y¹ is N;~~
~~further provided R² is not piperidinyl when X and W are NH, Y² is NH, Y¹ is N, R and R¹ are optically substituted phenyl and ring A has nitrogens at positions 4 and 6;~~
~~and further provided R, R¹ and R² are not all pyridyl or all triazolyl when Y² is NH, Y¹ is N and ring A has nitrogens at positions 4 and 6.~~

2. (Original) Compound of Claim 1 wherein W and X are independently selected from O and NR⁴.

3. (Original) Compound of Claim 1 wherein W is O or NH.

4. (Original) Compound of Claim 1 wherein X is O or NH.

5. (Original) Compound of Claim 1 wherein W is NH.

6. (Canceled)

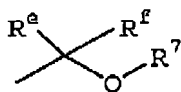
7. (Canceled)

8. (Original) Compound of Claim 1 wherein R is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C₃₋₆-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, oxo, -SR³, -SO₂R³, -CO₂R³, -C(O)NR³R³, -C(O)R³, -NR³R³, -NH(C₁-C₆ alkylenyl)R³), -(C₁-C₆ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, amino-C₁-C₆-alkyl, C₁-C₆-alkylamino-C₁-C₆-alkyl, C₁-C₆-alkylamino-C₁-C₆-alkoxy, C₁-C₆-alkylamino-

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

C₁-C₆-alkoxy-C₁-C₆-alkoxy, optionally substituted 5-6 membered heterocyclylcarbonylalkyl, C₁₋₄-alkoxycarbonylamino-C₁₋₆-alkyl,



, optionally substituted C₄₋₆-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁-C₆-alkylenyl, 5-6 membered heterocyclyl-C₂-C₆-alkenylenyl, C₁₋₄-alkyl, cyano, C₁₋₄-hydroxyalkyl, nitro and C₁₋₄-haloalkyl; wherein R^a and R^f are independently selected from H and C₁₋₂-haloalkyl; wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁-C₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl.

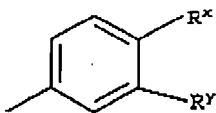
9. (Original) Compound of Claim 1 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, isopropyl-piperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, 1-methylpiperidin-4-yloxy, piperidin-4-yloxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylethoxy, piperidin-4-methoxy, 4-methylpiperidin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, isopropoxy, methoxy and ethoxy.

10. (Original) Compound of Claim 1 wherein R is



wherein R* is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein RY is selected from 4-methylpiperazinylsulfonyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

morpholinylethoxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylmethoxy, piperdin-4-methoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethyl amino.

11. (Currently amended) Compound of Claim 1 wherein R¹ is selected from

substituted or unsubstituted 5-6 membered heteroaryl comprising
containing one or more nitrogen atoms,

substituted phenyl, and

substituted or unsubstituted 9-10 membered bicyclic or 13-14
membered tricyclic heterocyclyl;

wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -C(O)NR³R³, -C(O)R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, C₁₋₄-alkylamino-C₁₋₄-alkoxy, and C₁₋₄-alkyl substituted with R⁵.

12. (Original) Compound of Claim 1 wherein R¹ is a substituted or unsubstituted ring selected from pyrazolyl, triazolyl, pyridyl, pyrimidinyl, triazinyl, pyridazinyl, substituted phenyl, indazolyl, indolyl, isoindolyl, quinolinyl, isoquinolinyl, benzotriazolyl, benzo[1,3]dioxolyl, , pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinolyl, naphthyridinyl and quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, hydroxy, C₁₋₃-alkyl, C₁₋₂-alkoxy, C₁₋₂-alkoxy-C₁₋₂-alkoxy, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkoxy, amino, C₁₋₂-alkylamino, aminosulfonyl, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, C₁₋₂-alkylamino-C₁₋₂-alkoxy, C₁₋₂-alkylamino-C₁₋₂-alkyl, C₁₋₂-

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

alkylamino-C₂₋₃-alkylamino, C₁₋₂-hydroxyalkyl, C₁₋₂-aminoalkyl, and C₁₋₂-haloalkyl.

13. (Original) Compound of Claim 1 wherein R¹ is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 5-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinozaliny; wherein substituted R¹ is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperidinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

14. (Original) Compound of Claim 1 wherein R² is one or more substituents independently selected from H, halo, hydroxy, C₁₋₂-alkoxy, C₁₋₂-haloalkoxy, amino, C₁₋₂-alkylamino, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylamino, aminosulfonyl, C₃₋₆-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₄-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl.

15. (Original) Compound of Claim 1 wherein R² is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl,

OCT 11 2007

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

propynyl, trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl.

16. (Original) Compound of Claim 1 wherein R^2 is H; wherein R^3 is selected from H, C_{1-4} -alkyl, phenyl, phenyl- C_{1-4} -alkyl, 4-6 membered heterocyclyl, 4-6 membered heterocyclyl- C_{1-3} -alkyl, C_3 - C_6 cycloalkyl and C_{1-3} -haloalkyl.

17. (Original) Compound of Claim 1 wherein R^4 is independently selected from H, C_{1-3} -alkyl, phenyl, 5-6 membered heterocyclyl, C_5 - C_6 cycloalkyl, and C_{1-3} -haloalkyl.

18. (Currently amended) Compound of Claim 1 and pharmaceutically acceptable derivatives salts and solvates thereof selected from

~~4-{2-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[3-(2-Dimethylamino-ethoxy)-4-trifluoromethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenylamino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenyl]-[5-(quinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~

~~[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-[5-(quinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~

~~4-{2-[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

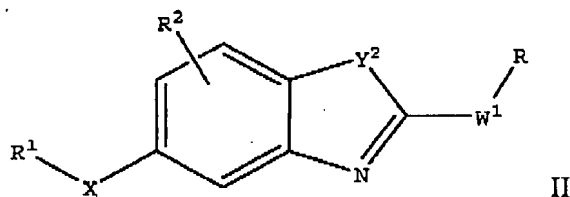
~~4-{2-[4-Chloro-3-(1-methyl-pyrrolidin-2-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

[4-Chloro-3-(1-methyl-pyrrolidin-2-ylmethoxy)-phenyl]-[5-(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;
 [3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-[5-(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;
 5-((6,7-bis(Methoxy)-4-quinolinyl)oxy)-N-(4-chloro-3-((4-methyl-1-piperazinyl)methyl)phenyl)-1,3-benzoxazol-2-amine;
 N-(4-Chloro-3-((4-methyl-1-piperazinyl)methyl)phenyl)-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-1,3-benzoxazol-2-amine;
 N-(4-Chloro-3-(((2S)-1-methyl-2-pyrrolidinyl)methyl)oxy)phenyl)-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-1,3-benzoxazol-2-amine; and
 4-((2-((4-Chloro-3-(((2S)-1-methyl-2-pyrrolidinyl)methyl)oxy)phenyl)amino)-7-fluoro-1,3-benzoxazol-5-yl)oxy)-N-methyl-2-pyridinecarboxamide.

19. (Currently amended) Compound of Formula II

wherein W^1 and X are independently O or NH;wherein Y^2 is O or NR^3 ;~~wherein n is 0, 1 or 2,~~wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-13 membered fused heterocyclyl, and
- d) substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, oxo, $-OC(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with R^6 ;

wherein R^1 is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl,
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and
- c) phenyl,

where substituted R^1 is substituted with one or more substituents selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted 3-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with R^6 ;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with R^6 ;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted C_3 - C_6 -cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C_3 - C_6 cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^4 is independently selected from H, and C_{1-2} alkyl; and

wherein R^6 is one or more substituents independently selected from H, halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

20. (Original) Compound of Claim 19 wherein W^1 is NH.

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

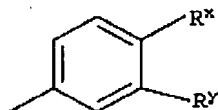
21. (Original) Compound of Claim 19 wherein X is O.
22. (Withdrawn) Compound of Claim 19 wherein X is NH.
23. (Canceled)
24. (Original) Compound of Claim 19 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, isopropyl-piperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, 4-

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

chlorophenoxy, phenoxy, 1-methylpiperidin-4-yloxy, piperidin-4-yloxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylethoxy, piperidin-4-methoxy, 4-methylpiperidin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, isopropoxy, methoxy and ethoxy.

25. (Original) Compound of Claim 19 wherein R is



wherein R^x is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein R^y is selected from 4-methylpiperazinylsulfonyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylmethoxy, piperidin-4-methoxy, 4-methylpiperidin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethylamino.

26. (Withdrawn) Compound of Claim 19 wherein R is substituted or unsubstituted 5-6 membered heterocyclyl.

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

27. (Withdrawn) Compound of Claim 19 wherein R is substituted or unsubstituted 9-11 membered fused heterocyclyl.

28. (Withdrawn) Compound of Claim 19 wherein R¹ is selected from unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl.

29. (Withdrawn) Compound of Claim 19 wherein R¹ is selected from unsubstituted or substituted phenyl.

30. (Original) Compound of Claim 19 wherein R¹ is selected from unsubstituted or substituted 9- or 10-membered nitrogen-containing partially saturated heterocyclyl and unsubstituted or substituted 9- or 10-membered nitrogen-containing heteroaryl.

31. (Original) Compound of Claim 19 wherein R¹ is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 5-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinozaliny; wherein substituted R¹ is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperidinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

32. (Canceled)

33. (Currently amended) Compound of Claim 19 wherein W^1 and X are independently O or NH;

~~wherein Y^2 is O or NH,~~

wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-13 membered fused heterocyclyl, and
- d) substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, oxo, $-OC(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with R^6 ;

wherein R^1 is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl,
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and
- c) phenyl,

where substituted R^1 is substituted with one or more substituents selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted 3-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with R^6 ;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with R^6 ;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

heterocyclyl, optionally substituted C₃-C₆-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C₃-C₆ cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R⁴ is independently selected from H, and C₁₋₂ alkyl; and wherein R⁵ is one or more substituents independently selected from H, halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, -NR³C(O)NR³R³, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof; ~~provided R¹ is not 5-((2-chloro-6-methylphenyl)aminocarbonyl)thiazol-2-yl when Y² is NH, W is NH and X is NH,~~ ~~further provided R¹ is not 2-(substituted aminocarbonyl)pyrid-4-yl when Y² is NH,~~ ~~further provided R¹ is not 2-(substituted aminocarbonyl)pyrid-4-yl when Y² is O and when R is phenyl or substituted phenyl.~~

34. (Original) Compound of Claim 33 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholin-4-ylmethyl, 4-methylpiperazin-1-ylmethyl, 4-isopropyl-

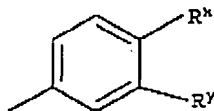
OCT 11 2007

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

piperazin-1-ylmethyl, 4-methylpiperazin-1-ylpropyl, morpholin-4-ylpropyl, methylpiperidinylmethyl, morpholin-4-ylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, diethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperdin-4-yloxy, piperdin-4-yloxy, piperidinylethoxy, morpholin-4-ylethyloxy, 4-methylpiperazin-1-ylethoxy, 4-isopropylpiperazinylethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, isopropoxy, methoxy and ethoxy.

35. (Original) Compound of Claim 33 wherein R is



wherein R* is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

wherein R^y is selected from H, 4-methylpiperazinylsulfonyl, trifluoromethyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazin-1-ylethoxy, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 4-isopropylpiperazinylmethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, diethylaminoethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethylamino.

36. (Original) Compound of Claim 33 wherein R¹ is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 6-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperidinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, methylaminocarbonyl, 1-pyrrolidinylbutylaminocarbonyl, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

37. (Currently amended) Compound of Claim 33 wherein R^1 is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

38. (Currently amended) Compound of Claim 33 wherein R^1 is a substituted or unsubstituted ring selected from 6-indazolyl, 4-quinolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

39. (Withdrawn) Compound of Claim 33 wherein R^1 is a substituted or unsubstituted pyrrolo[2,3-b]pyridin-4-yl; and pharmaceutically acceptable derivatives thereof.

40. (Currently amended) Compound of Claim 33 wherein R^1 is a substituted or unsubstituted 4-quinolyl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

41. (Withdrawn) Compound of Claim 33 wherein R^1 is a substituted or unsubstituted 4-quinazolinyl; and pharmaceutically acceptable derivatives thereof.

42. (Withdrawn) Compound of Claim 33 wherein R^1 is a substituted or unsubstituted pyrrolo[2,3-d]pyrimidin-4-yl; and pharmaceutically acceptable derivatives thereof.

43. (Original) Compound of Claim 33 wherein R^2 is H or Cl.

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

44. (Currently amended) Compound of Claim 1 and pharmaceutically acceptable derivatives salts and solvates thereof selected from

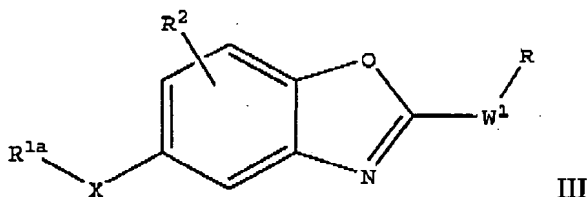
~~[4-Chloro-3-(4-methylpiperazin-1-ylmethyl)-phenyl]-[5-(6,7-dimethoxyquinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~
[4-Chloro-3-((2S)-1-methylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(2-methylamino-pyridin-4-yloxy)-benzoxazol-2-yl]-amine;
4-{2-[4-Chloro-3-((2S)-1-methylpyrrolidin-2-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid amide;
4-{2-[4-Chloro-3-(1-methylpiperidin-4-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
4-{2-[4-Chloro-3-(piperidin-4-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
4-{2-[4-Chloro-3-(1-isopropylpiperidin-4-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
4-[2-{4-Chloro-3-[4-(2-dimethylamino-ethyl)-piperazin-1-ylmethyl]-phenylamino}-benzoxazol-5-yloxy]-pyridine-2-carboxylic acid methylamide;
~~4-{2-[4-Chloro-3-(2-diethylamino-ethoxy)-phenylamino]-~~
4-{7-Chloro-2-[4-chloro-3-(4-methylpiperazin-1-ylmethyl)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
4-{2-[4-Chloro-3-(2-dimethylamino-ethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
4-{2-[4-Chloro-3-((2S)-1-isopropylpyrrolidin-2-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;
[4-Chloro-3-(4-methylpiperazin-1-ylmethyl)-phenyl]-[7-chloro-5-(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;
[4-Chloro-3-(1-methylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(6,7-dimethoxyquinolin-4-yloxy)-benzoxazol-2-yl]-amine;
[4-Chloro-3-(1-methylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(6,7-dimethoxyquinazolin-4-yloxy)-benzoxazol-2-yl]-amine;

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

[4-Chloro-3-(3-dimethylamino-pyrrolidin-1-ylmethyl)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine;
 [4-Chloro-3-(1-isopropylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine; and
 [4-Chloro-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine, ~~and~~
~~(4-Chlorophenyl)-[5-(6,7-dimethoxy-quinazolin-4-yloxy)-1H-benzimidazol-2-yl]-amine.~~

45. (Currently amended) Compound of Formula III

wherein W^1 and X are independently O or NH;

wherein R is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-13 membered fused heterocyclyl, and
- substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-C(O)NR^3R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, oxo, $-OC(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with R^6 ;

wherein R^{1a} is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and where substituted R^{1a} is substituted with one or more substituents selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-C(O)R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted 3-6 membered heterocyclyl,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with R⁶;

wherein R² is one or more substituents independently selected from H, halo, -OR³, -SR³, -CO₂R³, -C(O)NR³R³, -C(O)R³, -NR³R³, -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, -NR³C(O)NR³R³, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with R⁶;

wherein R³ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted C₃-C₆-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C₃-C₆ cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

~~wherein R⁴ is independently selected from H, and C₁₋₆ alkyl, and~~

wherein R⁶ is one or more substituents independently selected from H, halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, -NR³C(O)NR³R³, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

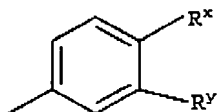
46. (Original) Compound of Claim 45 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholin-4-ylmethyl, 4-methylpiperazin-1-ylmethyl, 4-isopropylpiperazin-1-ylmethyl, 4-methylpiperazin-1-ylpropyl, morpholin-4-ylpropyl, methylpiperidinylmethyl, morpholin-4-ylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, diethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperdin-4-yloxy, piperdin-4-yloxy, piperidinylethoxy, morpholin-4-ylethyloxy, 4-methylpiperazin-1-ylethoxy, 4-isopropylpiperazinylethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, isopropoxy, methoxy and ethoxy.

47. (Original) Compound of Claim 45 wherein R is



Application No.: 10/804,915

Attorney Docket No. A-846 (US)

wherein R^x is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein R^y is selected from H, 4-methylpiperazinylsulfonyl, trifluoromethyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazin-1-ylethoxy, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 4-isopropylpiperazinylmethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, diethylaminoethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethylamino.

48. (Original) Compound of Claim 45 wherein R^{1a} is a substituted or unsubstituted ring selected from 6-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperdinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, methylaminocarbonyl, 1-pyrrolidinylbutylaminocarbonyl,

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

49. (Original) Compound of Claim 45 wherein R^2 is H or Cl.

50. (Currently amended) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound as in any one of Claims 1-49.

51. (Canceled)

52. (Canceled)

53. (Canceled)

54. (Canceled)

55. (Canceled)

56. (Canceled)

57. (Canceled)

58. (Canceled)

59. (Canceled)

60. (Canceled)